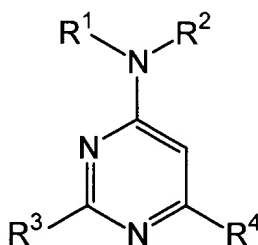


IN THE CLAIMS:

Cancel claims 9 and 16 without prejudice or disclaimer, amend claims 1-8, 10-15, and 17-19, and add new claims 20-23 as follows:

1. A compound of the formula I,



in which

R¹ is (C₁-C₈)-alkyl, which can be substituted by one or more identical or different substituents chosen from hydroxyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkyl-S(O)_m-, R⁵R⁶N and aryl; (C₃-C₉)-cycloalkyl, which can be substituted by one or more identical or different substituents chosen from (C₁-C₄)-alkyl, hydroxyl and amino; or a radical of a 5-membered to 7-membered saturated heterocyclic ring that contains one or two identical or different hetero ring members chosen from O, NR⁷ and S(O)_m and that can be substituted by one or more identical or different substituents chosen from (C₁-C₄)-alkyl and aryl-(C₁-C₄)-alkyl-;

and

R² is hydrogen, (C₁-C₈)-alkyl, which can be substituted by one or more identical or different substituents chosen from hydroxyl, (C₁-C₄)-alkoxy, (C₁-C₄)-

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alkyl-S(O)_m-, R⁵R⁶N and aryl; (C₃-C₉)-cycloalkyl, which can be substituted by one or more identical or different substituents chosen from (C₁-C₄)-alkyl, hydroxyl and amino; or the radical of a 5-membered to 7-membered saturated heterocyclic ring that contains one or two identical or different hetero ring members chosen from O, NR⁷ and S(O)_m and that can be substituted by one or more identical or different substituents chosen from (C₁-C₄)-alkyl and aryl-(C₁-C₄)-alkyl-; or

R¹R²N is a radical, bonded via a ring nitrogen atom, of a 5-membered to 7-membered saturated heterocyclic ring that, in addition to the nitrogen atom carrying the radicals R¹ and R², can contain a further hetero ring member chosen from O, NR⁷ and S(O)_m and that can be substituted by one or more identical or different substituents chosen from (C₁-C₄)-alkyl, hydroxyl, (C₁-C₄)-alkoxy, R⁸R⁹N, hydroxycarbonyl, (C₁-C₄)-alkoxycarbonyl and R⁸R⁹N-CO-;

R³ is phenyl, which can be substituted by one or more identical or different substituents chosen from halogen, (C₁-C₄)-alkyl, phenyl, CF₃, NO₂, OH, -O-(C₁-C₄)-alkyl, -O-(C₂-C₄)-alkyl-O-(C₁-C₄)-alkyl, (C₁-C₂)-alkylenedioxy, NH₂, -NH-(C₁-C₄)-alkyl, N((C₁-C₄)-alkyl)₂, -NH-CHO, -NH-CO-(C₁-C₄)-alkyl, -CN, -CO-NH₂, -CO-NH-(C₁-C₄)-alkyl, -CO-N((C₁-C₄)-alkyl)₂, -CO-OH, -CO-O-(C₁-C₄)-alkyl, -CHO and -CO-(C₁-C₄)-alkyl;

R⁴ is (C₂-C₅)-alkyl, trifluoromethyl or phenyl, which can be substituted by one or more identical or different substituents chosen from halogen, (C₁-C₄)-alkyl, phenyl, CF₃, NO₂, OH, -O-(C₁-C₄)-alkyl, -O-(C₂-C₄)-alkyl-O-(C₁-C₄)-alkyl, (C₁-C₂)-alkylenedioxy, NH₂, -NH-(C₁-C₄)-alkyl, N((C₁-C₄)-alkyl)₂, -NH-CHO, -NH-CO-(C₁-C₄)-alkyl, -CN, -CO-NH₂, -CO-NH-(C₁-C₄)-alkyl, -CO-N((C₁-C₄)-alkyl)₂, -CO-OH, -CO-O-(C₁-C₄)-alkyl, -CHO and -CO-(C₁-C₄)-alkyl;

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C¹

R⁵ and R⁶ are identical or different radicals chosen from hydrogen and (C₁-C₄)-alkyl; or the group R⁵R⁶N is a radical, bonded via a ring nitrogen atom, of a 5-membered to 7-membered saturated or saturated heterocyclic ring that, in addition to the nitrogen atom carrying the radicals R⁵ and R⁶, can additionally contain as a further hetero ring member an oxygen atom, a group S(O)_m or a nitrogen atom and that can carry on ring carbon atoms one or more identical or different substituents chosen from (C₁-C₄)-alkyl, hydroxyl and amino and that can carry on a ring nitrogen atom a radical R⁷;

R⁷ is hydrogen, (C₁-C₄)-alkyl, aryl-(C₁-C₄)-alkyl-, hydroxy-(C₁-C₄)-alkyl, hydroxycarbonyl-(C₁-C₄)-alkyl-, ((C₁-C₄)-alkoxycarbonyl)-(C₁-C₄)-alkyl, R⁸R⁹N-CO-(C₁-C₄)-alkyl-, R¹⁰-SO₂- or aryl; where R⁷, if this group is present on a piperazino radical representing R¹R²N, cannot be carbocyclic aryl or carbocyclic aryl-(C¹-C⁴)-alkyl;

R⁸ and R⁹ are identical or different radicals chosen from hydrogen and (C₁-C₄)-alkyl;

R¹⁰ is (C₁-C₄)-alkyl, aryl or R⁸R⁹N;

aryl is phenyl, naphthyl or heteroaryl, all of which can be substituted by one or more identical or different substituents chosen from halogen, (C₁-C₄)-alkyl, phenyl, CF₃, NO₂, OH, -O-(C₁-C₄)-alkyl, O-(C₂-C₄)-alkyl-O-(C₁-C₄)-alkyl, (C₁-C₂)-alkylenedioxy, NH₂, -NH-(C₁-C₄)-alkyl, -N((C₁-C₄)-alkyl)₂, -NH-CHO, -NH-CO-(C₁-C₄)-alkyl, -CN, CO-NH₂, -CO-NH-(C₁-C₄)-alkyl, -CO-

~~N((C₁-C₄)-alkyl)₂, -CO-OH, -CO-O-(C₁-C₄)-alkyl, -CHO and -CO-(C₁-C₄)-alkyl;~~

~~heteroaryl is the radical of a monocyclic 5-membered or 6-membered aromatic heterocycle or of a bicyclic 8-membered to 10-membered aromatic heterocycle, each of which contains one or more identical or different ring heteroatoms chosen from N, O and S;~~

~~m is 0, 1 or 2;~~

~~or a stereoisomeric form of a compound of formula I,~~

~~or a mixture of stereoisomeric forms of compounds of formula I in all ratios,~~

~~or a physiologically tolerable salt of a compound of formula I,~~

~~or a physiologically tolerable salt of a stereoisomeric form of a compound of formula I;~~

~~compounds of the formula I being excluded in which, simultaneously, R⁴ is ethyl, tert-butyl, or trifluoromethyl; R³ is phenyl, which can be substituted by one or two identical or different substituents chosen from halogen, OH, -O-R¹¹ and CF₃, R¹R²N is R¹¹-NH-, (R¹¹)₂N- or R¹²R¹³N-(CH₂)_p-NH-; p is 2 or 3; R¹¹ is saturated unsubstituted (C₁-C₄)-alkyl; and R¹² and R¹³ are identical or different radicals chosen from hydrogen and R¹¹ or the group R¹²R¹³N is a radical, bonded via a ring nitrogen atom, of a 5-membered or 6-membered saturated heterocyclic ring that, in addition to the nitrogen atom carrying the radicals R¹² and R¹³, can additionally contain as a further hetero ring member an oxygen atom, a sulfur~~

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atom or a nitrogen atom and that can be substituted by an aryl substituted by one or two identical or different substituents chosen from halogen, OH, -O-R¹¹, and CF₃.

2. A compound of claim 1, in which

R¹ is (C₁-C₈)-alkyl, which can be substituted by one or more identical or different substituents, chosen from hydroxyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkyl-S(O)_m, R⁵R⁶N and aryl; or is (C₃-C₉)-cycloalkyl, which can be substituted by one or more identical or different substituents chosen from (C₁-C₄)-alkyl, hydroxyl and amino; and

R² is hydrogen, (C₁-C₈)-alkyl, which can be substituted by one or more identical or different substituents chosen from hydroxyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkyl-S(O)_m, R⁵R⁶N and aryl; or is (C₃-C₉)-cycloalkyl, which can be substituted by one or more identical or different substituents chosen from (C₁-C₄)-alkyl, hydroxyl and amino; or

R¹R²N is a radical, bonded via a ring nitrogen atom of a 5-membered, 6-membered or 7-membered saturated heterocyclic ring that, in addition to the nitrogen atom carrying the radicals R¹ and R², can additionally contain as a further hetero ring member an oxygen atom, a group S(O)_m or a nitrogen atom carrying a radical R⁷ and that can be substituted by one or more identical or different substituents chosen from (C₁-C₄)-alkyl, hydroxyl, (C₁-C₄)-alkoxy, R⁸R⁹N, hydroxycarbonyl, (C₁-C₄)-alkoxycarbonyl and R⁸R⁹N-CO.

3. A compound of claim 1, in which R¹ is (C₁-C₄)-alkyl, which can be substituted by one or more identical or different substituents chosen from hydroxyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkyl-S(O)_m, R⁵R⁶N and aryl, or (C₃-C₉)-cycloalkyl, which can be substituted by one or more identical or different substituents chosen from (C₁-

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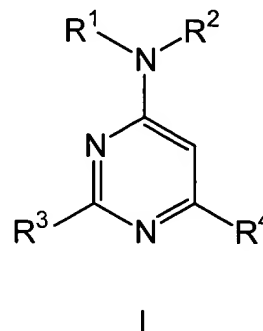
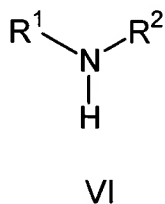
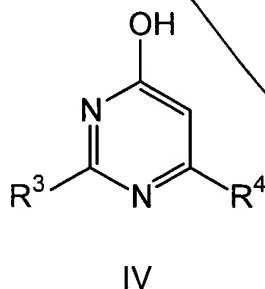
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~~C₄)-alkyl, hydroxyl and amino, and R² is hydrogen; or R¹ and R² are identical or different (C₁-C₄)-alkyl, which can be substituted by one or more identical or different substituents chosen from hydroxyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkyl-S(O)_m-, R⁵R⁶N and aryl.~~

- ~~4. A compound of claim 1, in which R¹ is (C₃-C₉)-cycloalkyl, which can be substituted by one or more identical or different substituents chosen from (C₁-C₄)-alkyl, hydroxyl and amino, and R² is hydrogen.~~
- ~~5. A compound of claim 1, in which R¹R²N- is an unsubstituted or substituted radical chosen from piperidino, morpholino and thiomorpholino (and its S-oxide and S,S-dioxide) and piperazino.~~
- ~~6. A compound of claim 1, in which R³ is substituted phenyl.~~
- ~~7. A compound of the formula I as claimed in claim 1, in which R⁴ is (C₃-C₄)-alkyl.~~
8. A process for the preparation of at least one compound of claim 1, which comprises activating a 4-hydroxypyrimidine of the formula IV and then reacting it with an amine of a formula VI to produce a compound of formula I,



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and optionally reacting a compound of formula I with a suitable reagent to form a pharmaceutically acceptable salt.

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10. A composition, which contains one or more compounds of claim 1, and a carrier.
11. A method for activating soluble guanylate cyclase, comprising administering to a patient in need thereof at least one compound of claim 1.
12. A method of treating a medical condition, comprising administering to a patient in need thereof an effective amount of at least one compound of claim 1, wherein the medical condition is chosen from at least one of cardiovascular disorders, endothelial dysfunction, diastolic dysfunction, atherosclerosis, high blood pressure, angina pectoris, thromboses, restenoses, myocardial infarct, strokes, cardiac insufficiency, pulmonary hypertension, erectile dysfunction, bronchial asthma, chronic renal insufficiency, diabetes, liver cirrhosis, and improving restricted learning capacity or memory power.

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13. A compound of claim 5, in which R³ is substituted phenyl.
14. A compound of claim 5, in which R⁴ is (C₃-C₄)-alkyl.

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C2

15. A process for the preparation of at least one compound of claim 5, which comprises activating a 4-hydroxypyrimidine of the formula IV and then reacting it with an amine of a formula VI.

B3 D

17. A composition, which contains one or more compounds of claim 5 and a carrier.
18. A method for activating soluble guanylate cyclase, comprising administering to a patient in need thereof at least one compound of claim 5.
19. A method of treating a medical condition, comprising administering to a patient in need thereof an effective amount of at least one compound of claim 5, wherein the medical condition is chosen from cardiovascular disorders, endothelial dysfunction, diastolic dysfunction, atherosclerosis, high blood pressure, angina

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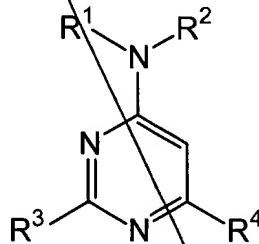
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B³

pectoris, thromboses, restenoses, myocardial infarct, strokes, cardiac insufficiency, pulmonary hypertension, erectile dysfunction, bronchial asthma, chronic renal insufficiency, diabetes, liver cirrhosis, and improving restricted learning capacity or memory power.

New claims:

- B⁴
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20. A pharmaceutical composition, comprising one or more compounds of claim 1 and a pharmaceutically acceptable carrier.
21. A pharmaceutical composition, comprising one or more compounds of claim 5 and a pharmaceutically acceptable carrier.
22. A method of treating a cardiovascular disorder, comprising administering to a patient in need thereof an effective amount of at least one compound of formula I,



in which

R¹ is (C₁-C₈)-alkyl, which can be substituted by one or more identical or different substituents chosen from hydroxyl, (C₁-C₄)-alkoxy, (C₁-C₄)-alkyl-S(O)_m-, R⁵R⁶N and aryl; (C₃-C₉)-cycloalkyl, which can be substituted by one or more identical or different substituents chosen from (C₁-C₄)-alkyl, hydroxyl and amino; or a radical of a 5-membered to 7-membered saturated

B4
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heterocyclic ring that contains one or two identical or different hetero ring members chosen from O, NR^7 and S(O)_m and that can be substituted by one or more identical or different substituents chosen from $(\text{C}_1\text{-C}_4)\text{-alkyl}$ and aryl- $(\text{C}_1\text{-C}_4)\text{-alkyl-}$;

and

R^2 is hydrogen, $(\text{C}_1\text{-C}_8)\text{-alkyl}$, which can be substituted by one or more identical or different substituents chosen from hydroxyl, $(\text{C}_1\text{-C}_4)\text{-alkoxy}$, $(\text{C}_1\text{-C}_4)\text{-alkyl-S(O)}_m\text{-}$, $\text{R}^5\text{R}^6\text{N}$ and aryl; $(\text{C}_3\text{-C}_9)\text{-cycloalkyl}$, which can be substituted by one or more identical or different substituents chosen from $(\text{C}_1\text{-C}_4)\text{-alkyl}$, hydroxyl and amino; or the radical of a 5-membered to 7-membered saturated heterocyclic ring that contains one or two identical or different hetero ring members chosen from O, NR^7 and S(O)_m and that can be substituted by one or more identical or different substituents chosen from $(\text{C}_1\text{-C}_4)\text{-alkyl}$ and aryl- $(\text{C}_1\text{-C}_4)\text{-alkyl-}$; or

$\text{R}^1\text{R}^2\text{N}$ is a radical, bonded via a ring nitrogen atom, of a 5-membered to 7-membered saturated heterocyclic ring that, in addition to the nitrogen atom carrying the radicals R^1 and R^2 , can contain a further hetero ring member chosen from O, NR^7 and S(O)_m and that can be substituted by one or more identical or different substituents chosen from $(\text{C}_1\text{-C}_4)\text{-alkyl}$, hydroxyl, $(\text{C}_1\text{-C}_4)\text{-alkoxy}$, $\text{R}^8\text{R}^9\text{N}$, hydroxycarbonyl, $(\text{C}_1\text{-C}_4)\text{-alkoxycarbonyl}$ and $\text{R}^8\text{R}^9\text{N-CO-}$;

R^3 is phenyl, which can be substituted by one or more identical or different substituents chosen from halogen, $(\text{C}_1\text{-C}_4)\text{-alkyl}$, phenyl, CF_3 , NO_2 , OH, -O- $(\text{C}_1\text{-C}_4)\text{-alkyl}$, -O- $(\text{C}_2\text{-C}_4)\text{-alkyl-O-}(\text{C}_1\text{-C}_4)\text{-alkyl}$, $(\text{C}_1\text{-C}_2)\text{-alkylenedioxy}$, NH_2 , -NH- $(\text{C}_1\text{-C}_4)\text{-alkyl}$, N $((\text{C}_1\text{-C}_4)\text{-alkyl})_2$, -NH-CHO, -NH-CO- $(\text{C}_1\text{-C}_4)\text{-alkyl}$, -CN, -CO-NH $_2$, -CO-NH- $(\text{C}_1\text{-C}_4)\text{-alkyl}$, -CO-N $((\text{C}_1\text{-C}_4)\text{-alkyl})_2$, -CO-OH, -CO-O- $(\text{C}_1\text{-C}_4)\text{-alkyl}$, -CHO and -CO- $(\text{C}_1\text{-C}_4)\text{-alkyl}$;

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~~R⁴ is (C₂-C₅)-alkyl, trifluoromethyl or phenyl, which can be substituted by one or more identical or different substituents chosen from halogen, (C₁-C₄)-alkyl, phenyl, CF₃, NO₂, OH, -O-(C₁-C₄)-alkyl, -O-(C₂-C₄)-alkyl-O-(C₁-C₄)-alkyl, (C₁-C₂)-alkylenedioxy, NH₂, -NH-(C₁-C₄)-alkyl, N((C₁-C₄)-alkyl)₂, -NH-CHO, -NH-CO-(C₁-C₄)-alkyl, -CN, -CO-NH₂, -CO-NH-(C₁-C₄)-alkyl, -CO-N((C₁-C₄)-alkyl)₂, -CO-OH, -CO-O-(C₁-C₄)-alkyl, -CHO and -CO-(C₁-C₄)-alkyl;~~

~~R⁵ and R⁶ are identical or different radicals chosen from hydrogen and (C₁-C₄)-alkyl; or the group R⁵R⁶N is a radical, bonded via a ring nitrogen atom, of a 5-membered to 7-membered saturated or saturated heterocyclic ring that, in addition to the nitrogen atom carrying the radicals R⁵ and R⁶, can additionally contain as a further hetero ring member an oxygen atom, a group S(O)_m or a nitrogen atom and that can carry on ring carbon atoms one or more identical or different substituents chosen from (C₁-C₄)-alkyl, hydroxyl and amino and that can carry on a ring nitrogen atom a radical R⁷;~~

~~R⁷ is hydrogen, (C₁-C₄)-alkyl, aryl-(C₁-C₄)-alkyl-, hydroxy-(C₁-C₄)-alkyl, hydroxycarbonyl-(C₁-C₄)-alkyl-, ((C₁-C₄)-alkoxycarbonyl)-(C₁-C₄)-alkyl, R⁸R⁹N-CO-(C₁-C₄)-alkyl-, R¹⁰-SO₂- or aryl; where R⁷, if this group is present on a piperazino radical representing R¹R²N, cannot be carbocyclic aryl or carbocyclic aryl-(C¹-C⁴)-alkyl;~~

~~R⁸ and R⁹ are identical or different radicals chosen from hydrogen and (C₁-C₄)-alkyl;~~

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~~R¹⁰ is (C₁-C₄)-alkyl, aryl or R⁸R⁹N;~~

~~aryl is phenyl, naphthyl or heteroaryl, all of which can be substituted by one or more identical or different substituents chosen from halogen, (C₁-C₄)-alkyl, phenyl, CF₃, NO₂, OH, -O-(C₁-C₄)-alkyl, O-(C₂-C₄)-alkyl-O-(C₁-C₄)-alkyl, (C₁-C₂)-alkylenedioxy, NH₂, -NH-(C₁-C₄)-alkyl, -N((C₁-C₄)-alkyl)₂, -NH-CHO, -NH-CO-(C₁-C₄)-alkyl, -CN, CO-NH₂, -CO-NH-(C₁-C₄)-alkyl, -CO-N((C₁-C₄)-alkyl)₂, -CO-OH, -CO-O-(C₁-C₄)-alkyl, -CHO and -CO-(C₁-C₄)-alkyl;~~

~~heteroaryl is the radical of a monocyclic 5-membered or 6-membered aromatic heterocycle or of a bicyclic 8-membered to 10-membered aromatic heterocycle, each of which contains one or more identical or different ring heteroatoms chosen from N, O and S;~~

~~m is 0, 1 or 2;~~

~~or a stereoisomeric form of a compound of formula I,~~

~~or a mixture of stereoisomeric forms of compounds of formula I in all ratios,~~

~~or a physiologically tolerable salt of a compound of formula I,~~

~~or a physiologically tolerable salt of a stereoisomeric form of a compound of formula I.~~

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- B⁴
23. A method according to claim 22, wherein the cardiovascular disorder is chosen from endothelial dysfunction, diastolic dysfunction, arteriosclerosis, high blood pressure, angina pectoris, thromboses, restenoses, myocardial infarct, strokes, cardiac insufficiency, and pulmonary hypertension.

REMARKS

I. Status of the Claims

After entering this amendment, claims 1-8, 10-15, and 17-23 are pending in this application. Claims 2-8, 10-15, and 17-19 were amended to more clearly define the subject matter of the invention. These amendments merely reformatted the claims to comply with U.S. patent rules and practice. New claims 20 and 21 find support in original claim 10, and new claims 22 and 23 find support in original claims 1 and 12, and in the specification at page 3, line 36 to page 4 line 2, and page 16, line 36 to page 17, line 2. Therefore, no issue of new matter is raised. Additionally, claim 1 was amended solely to expedite prosecution in response to the Examiner's § 103 rejection. Applicants reserve the right to pursue protection for the presently disclaimed subject matter in a divisional application.

II. Objections under 37 C.F.R. § 1.496(b)

The Examiner stated that only claims 1-12 were examined by the International Bureau and that 37 C.F.R. § 1.496(b) prohibits the addition of more claims, since the examiner in the national country would not have the benefit of the PCT examination.

Applicants respectfully disagree.